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* * * * * Welcome to STN International * * * * *

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NEWS 5 NOV 03 JAPIO enhanced with IPC 8 features and functionality
NEWS 6 NOV 10 CA/CAPLUS F-Term thesaurus enhanced
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8.01c now available
NEWS 8 NOV 20 CAS Registry Number crossover limit increased to 300,000 in
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NEWS 9 NOV 20 CA/CAPLUS to MARPAT accession number crossover limit increased
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NEWS 10 DEC 01 CAS REGISTRY updated with new ambiguity codes
NEWS 11 DEC 11 CAS REGISTRY chemical nomenclature enhanced
NEWS 12 DEC 14 WPIDS/WPINDEX/WPIX manual codes updated
NEWS 13 DEC 14 GBFULL and FRFULL enhanced with IPC 8 features and
functionality
NEWS 14 DEC 18 CA/CAPLUS pre-1967 chemical substance index entries enhanced
with preparation role
NEWS 15 DEC 18 CA/CAPLUS patent kind codes updated
NEWS 16 DEC 18 MARPAT to CA/CAPLUS accession number crossover limit increased
to 50,000
NEWS 17 DEC 18 MEDLINE updated in preparation for 2007 reload
NEWS 18 DEC 27 CA/CAPLUS enhanced with more pre-1907 records
NEWS 19 JAN 08 CHEMLIST enhanced with New Zealand Inventory of Chemicals

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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DICTIONARY FILE UPDATES: 8 JAN 2007 HIGHEST RN 916971-64-7

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=> s S-[2,3-bis(acyloxy)-(2S)-propyl]L-cysteinyllcarboxypolyethyleneglycol
MISSING OPERATOR 'S-[2,3-BIS(ACYLOXY)'

=> s S-[2,3-bis(acyloxy)-(2S)-propyl]
MISSING OPERATOR 'S-[2,3-BIS(ACYLOXY)'

=> s bisacyloxypropylcysteine
0 BISACYLOXYPROPYLCYSTEINE
L1 0 BISACYLOXYPROPYLCYSTEINE

=> file hcaplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

5.85

6.06

FILE 'HCAPLUS' ENTERED AT 07:59:51 ON 09 JAN 2007

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FILE COVERS 1907 - 9 Jan 2007 VOL 146 ISS 3

FILE LAST UPDATED: 8 Jan 2007 (20070108/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s bisacyloxypropylcysteine
1 BISACYLOXYPROPYLCYSTEINE
1 BISACYLOXYPROPYLCYSTEINES
L2 1 BISACYLOXYPROPYLCYSTEINE
(BISACYLOXYPROPYLCYSTEINE OR BISACYLOXYPROPYLCYSTEINES)

=> d all

L2 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:55397 HCAPLUS
DN 140:105268
ED Entered STN: 22 Jan 2004
TI Macrophage-stimulating *bisacyloxypropylcysteine* conjugates and
therapeutic use thereof
IN Muehlradt, Peter F.; Morr, Michael
PA GBF Gesellschaft fuer Biotechnologische Forschung MbH, Germany
SO Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DT Patent
LA German
IC ICM A61K047-48
CC 1-7 (Pharmacology)
Section cross-reference(s): 34

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1382352	A1	20040121	EP 2002-16066	20020719
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	CA 2489010	A1	20040129	CA 2003-2489010	20030718
	WO 2004009125	A2	20040129	WO 2003-EP7892	20030718
	WO 2004009125	A3	20040527		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003251002	A1	20040209	AU 2003-251002	20030718
	EP 1521600	A2	20050413	EP 2003-765055	20030718
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2006134061	A1	20060622	US 2005-521013	20050913
PRAI	EP 2002-16066	A	20020719		
	WO 2003-EP7892	W	20030718		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 1382352	ICM	A61K047-48
	IPCI	A61K0047-48 [ICM,7]
	IPCR	A61K0047-48 [I,C*]; A61K0047-48 [I,A]
	ECLA	A61K047/48H4P
CA 2489010	IPCI	A61K0047-48 [ICM,7]

WO 2004009125 IPCR A61K0047-48 [I,C*]; A61K0047-48 [I,A]
 IPCI A61K0047-48 [ICM,7]
 IPCR A61K0047-48 [I,C*]; A61K0047-48 [I,A]
 ECLA A61K047/48H4P

AU 2003251002 IPCI A61K0047-48 [ICM,7]
 IPCR A61K0047-48 [I,C*]; A61K0047-48 [I,A]

EP 1521600 IPCI A61K0047-48 [ICM,7]
 IPCR A61K0047-48 [I,C*]; A61K0047-48 [I,A]

US 2006134061 IPCI A61K0038-17 [I,A]; A61K0031-737 [I,A]; A61K0038-16
 [I,A]; C07K0014-47 [I,A]; C07K0014-435 [I,C*]
 NCL 424/078.270; 514/002.000; 514/054.000; 525/054.100;
 530/409.000; 536/053.000
 ECLA A61K047/48H4P

OS MARPAT 140:105268

AB The invention discloses **bisacyloxypropylcysteine** conjugates
 $R_2C(O)OCH[R_1C(O)OCH_2]CH_2SCH(NH_2)C(O)YR_3$ (R_1, R_2 = fatty acid group; Y =
 NH, O, S, OCO; R_3 = conjugate group, especially a polymer). Conjugates of the
 invention include e.g. S-[2,3-bis(palmitoyloxy)-(2S)-propyl]-L-cysteinyl-
 carboxy-polyethylene glycol. The conjugates of the invention show good
 macrophage-stimulating activity and need no other solubilizers. They are
 useful for numerous applications, particularly for macrophage stimulation,
 stimulation of antibody production, as a defense against infection, as
 immunostimulants, particularly in relation to tumors, for the prevention
 and treatment of septic shock, for wound healing, and as adjuvants for
 vaccines.

ST **bisacyloxypropylcysteine** polymer conjugate macrophage
 stimulation; immunostimulant antiinfective antitumor
bisacyloxypropylcysteine polymer conjugate; wound healing vaccine
 adjuvant **bisacyloxypropylcysteine** polymer conjugate; septic
 shock treatment **bisacyloxypropylcysteine** polymer conjugate; PEG
bisacyloxypropylcysteine conjugate prepn macrophage stimulation

IT Vaccines
 (adjuvants for; macrophage-stimulating **bisacyloxypropylcysteine**
 conjugates and therapeutic use)

IT Immunostimulants
 (adjuvants; macrophage-stimulating **bisacyloxypropylcysteine**
 conjugates and therapeutic use)

IT Collagens, biological studies
 Polyoxyalkylenes, biological studies
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (conjugates with **bisacyloxypropylcysteines**;
 macrophage-stimulating **bisacyloxypropylcysteine** conjugates
 and therapeutic use)

IT Polymers, biological studies
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (conjugates, with **bisacyloxypropylcysteines**;
 macrophage-stimulating **bisacyloxypropylcysteine** conjugates
 and therapeutic use)

IT Drug delivery systems
 (inhalants; macrophage-stimulating **bisacyloxypropylcysteine**
 conjugates and therapeutic use)

IT Drug delivery systems
 (injections; macrophage-stimulating **bisacyloxypropylcysteine**
 conjugates and therapeutic use)

IT Anti-infective agents
 Antitumor agents
 Drug delivery systems
 Immunostimulants
 Infection
 Neoplasm
 Wound
 Wound healing promoters

(macrophage-stimulating *bisacyloxypropylcysteine* conjugates and therapeutic use)

IT Drug delivery systems
(nasal; macrophage-stimulating *bisacyloxypropylcysteine* conjugates and therapeutic use)

IT Antibodies and Immunoglobulins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(production; macrophage-stimulating *bisacyloxypropylcysteine* conjugates and therapeutic use)

IT Shock (circulatory collapse)
(septic; macrophage-stimulating *bisacyloxypropylcysteine* conjugates and therapeutic use)

IT Macrophage
(stimulation; macrophage-stimulating *bisacyloxypropylcysteine* conjugates and therapeutic use)

IT Drug delivery systems
(topical; macrophage-stimulating *bisacyloxypropylcysteine* conjugates and therapeutic use)

IT Glycoconjugates
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(with *bisacyloxypropylcysteines*; macrophage-stimulating *bisacyloxypropylcysteine* conjugates and therapeutic use)

IT 647013-57-8
RL: PAC (Pharmacological activity); BIOL (Biological study)
(macrophage-stimulating *bisacyloxypropylcysteine* conjugates and therapeutic use)

IT 647013-56-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(macrophage-stimulating *bisacyloxypropylcysteine* conjugates and therapeutic use)

IT 52-90-4D, Cysteine, bisacyloxypropyl derivs., conjugates 9000-69-5D, Pectin, conjugates with *bisacyloxypropylcysteines* 9003-11-6D, conjugates with *bisacyloxypropylcysteines* 9003-39-8D, Polyvinylpyrrolidone, conjugates with *bisacyloxypropylcysteines* 9004-54-0D, Dextran, conjugates with *bisacyloxypropylcysteines* 9005-32-7D, Alginic acid, conjugates with *bisacyloxypropylcysteines***
* 25322-68-3D, Polyethylene glycol, conjugates with ****bisacyloxypropylcysteines*
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(macrophage-stimulating *bisacyloxypropylcysteine* conjugates and therapeutic use)

IT 24991-53-5 210532-98-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(macrophage-stimulating *bisacyloxypropylcysteine* conjugates and therapeutic use)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE

- (1) Anon; Handbook of pharmaceutical excipients
- (2) Cox, G; WO 0042175 A 2000 HCAPLUS
- (3) La Roche, H; EP 0510356 A 1992 HCAPLUS
- (4) Takeda Chemical Industries Ltd; EP 0604945 A 1994 HCAPLUS
- (5) Takeda Chemical Industries Ltd; EP 0604957 A 1994 HCAPLUS
- (6) Takeda Chemical Industries Ltd; EP 0638588 A 1995 HCAPLUS

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E1 THROUGH E1 ASSIGNED

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L3 1 "1995:546556"/AN

=> D L3 BIB,ABS

L3 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN

AN 1995:546556 HCAPLUS

DN 123:144635

TI isolation of TAN-1511 compounds and preparation of some specific analogs
as immunostimulants

IN Tanida, Seiichi; Hida, Tsuneaki; Wakimasu, Mitsuhiro

PA Takeda Chemical Industries, Ltd., Japan

SO Eur. Pat. Appl., 66 pp.

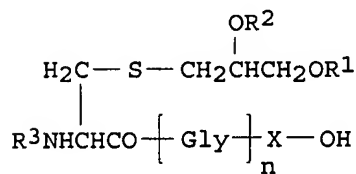
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 604945	A1	19940706	EP 1993-120952	19931227
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	ZA 9309691	A	19950627	ZA 1993-9691	19931227
	JP 07145084	A	19950606	JP 1993-336883	19931228
	US 5478809	A	19951226	US 1993-174365	19931228
	CA 2112522	A1	19940629	CA 1993-2112522	19931229
PRAI	JP 1992-349062	A	19921228		
	JP 1993-197579	A	19930809		
OS	MARPAT 123:144635				
GI					



AB TAN-1511A, TAN-1511B, and TAN-1511C of formula I (no more information regarding specific individual structures given) having leukocyte-enhancing activity, were isolated from Streptosporangium. Moreover, specific analogs of TAN-1511 compds. [I; R1, R2, R3 = aliphatic acyl; X = amino acid sequence containing 1-5 amino acid residues which contains at least one acidic amino acid residue; n = 0-4 integer; provided that when n = 0, X = glutamylglycyl at its N-terminal and when n = 1 or 2, the acidic amino acid residue is an acidic amino acid residue other than D-glutamyl or a salt thereof], having leukocyte-enhancing activity, are prepared Thus, Pam-Dhc(Pam)2-Gly-Gly-Gly-Glu(OtBu)-Thr(tBu)-Thr(tBu)-OtBu [Pam = n-hexadecanoyl, Dhc(Pam)2 = S-2,3-bis(hexadecanoyloxy)-(2S)-propyl-(R)-cysteine residue] (prepared via peptide coupling of Z-Gly-Gly-Gly-Glu(OtBu)-Thr(tBu)-Thr(tBu)-OtBu with Pam-Dhc(Pam)2-OH), was maintained at 20° for 1.5 h to give the title compound Pam-Dhc(Pam)2-Gly-Gly-Gly-

Glu-Thr-Thr-OH. The title compound (2R,6R)-2-Myr-amino-6,7-bis(PamO)-4-THT-Gly-Gly-Gly-Glu-Thr-Thr-OH [Myr = n-tetradecanoyl, THT = thiaheptanoyl] (also prepared) at 0.13 mg/Kg/day p.o. increased leukocyte number by 7% in a testing using female mice. Pharmaceutical compns. containing I are described.

10521013

INVENTOR SEARCH

=> d ibib abs ind hitstr 15 1-3

L5 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:3257 HCAPLUS Full-text

DOCUMENT NUMBER: 138:88605

TITLE: Differential recognition of structural details of bacterial lipopeptides by toll-like receptors

AUTHOR(S): Morr, Michael; Takeuchi, Osamu; Akira, Shizuo; Simon, Markus M.; Muhlradt, Peter F.

CORPORATE SOURCE: Research Group Molecular Recognition of the Gesellschaft fur Biotechnologische Forschung, Braunschweig, Germany

SOURCE: European Journal of Immunology (2002), 32(12), 3337-3347

CODEN: EJIMAF; ISSN: 0014-2980

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The question which detailed structures of bacterial modulins determine their relative biol. activity and resp. host cell receptors was examined with synthetic variants of mycoplasmal lipopeptides as model compds., as well as recombinant outer surface protein A (OspA) of *Borrelia burgdorferi* and lipoteichoic acid. Mouse fibroblasts bearing genetic deletions of various toll-like receptors (TLR) were the indicator cells to study receptor requirements, primary macrophages served to measure dose response. The following results were obtained: (i) the TLR system discriminates between modulins with three and those with two long-chain fatty acids in their lipid moiety, in that lipopeptides with three fatty acids were recognized by TLR2, whereas those with two long-chain fatty acids and lipoteichoic acid required the addnl. cooperation with TLR6; (ii) substitution of the free N terminus of mycoplasmal lipopeptides with an acetyl or palmitoyl group decreased the specific activity; (iii) removal of one or both ester-bound fatty acids lowered the specific activity by five orders of magnitude or deleted biol. activity; (iv) oxidation of the thioether group lowered the specific activity by at least four orders of magnitude. The implications of these findings for physiol. inactivation of lipopeptides and host-bacteria interactions in general are discussed.

CC 15-10 (Immunochemistry)

ST lipoteichoic acid bacteria lipopeptide toll like receptor

IT Receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study) (TLR (Toll-like receptor); recognition of bacterial lipopeptides by toll-like receptors)

IT Infection

(bacterial; recognition of bacterial lipopeptides by toll-like receptors)

IT Fatty acids, biological studies

RL: BSU (Biological study, unclassified); BIOL (Biological study) (long-chain; recognition of bacterial lipopeptides by toll-like receptors)

IT Proteins

RL: BSU (Biological study, unclassified); BIOL (Biological study) (ospA (outer surface protein A); recognition of bacterial lipopeptides by toll-like receptors)

IT *Borrelia burgdorferi*

Macrophage

Structure-activity relationship

(recognition of bacterial lipopeptides by toll-like receptors)

IT Thioethers

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(recognition of bacterial lipopeptides by toll-like receptors)

IT 9041-38-7D, Teichoic acid, lipo- 219986-24-0 250718-44-6

, MALP 2 484648-56-8 484648-57-9

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(recognition of bacterial lipopeptides by toll-like receptors)

IT 219986-24-0 250718-44-6, MALP 2 484648-56-8

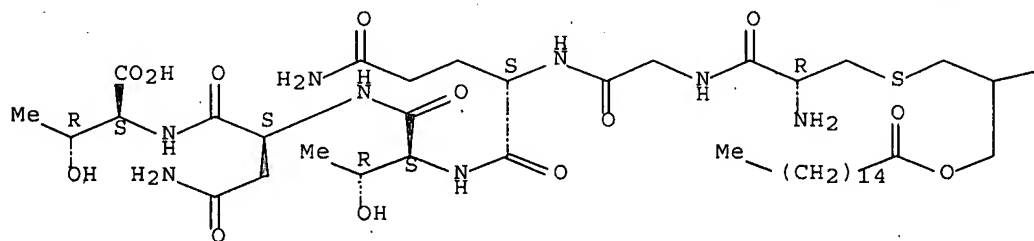
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(recognition of bacterial lipopeptides by toll-like receptors)

RN 219986-24-0 HCAPLUS

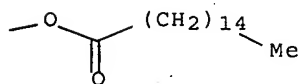
CN L-Threonine, S-[2,3-bis[(1-oxohexadecyl)oxy]propyl]-L-cysteinyglycyl-L-glutaminy-L-threonyl-L-asparaginy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

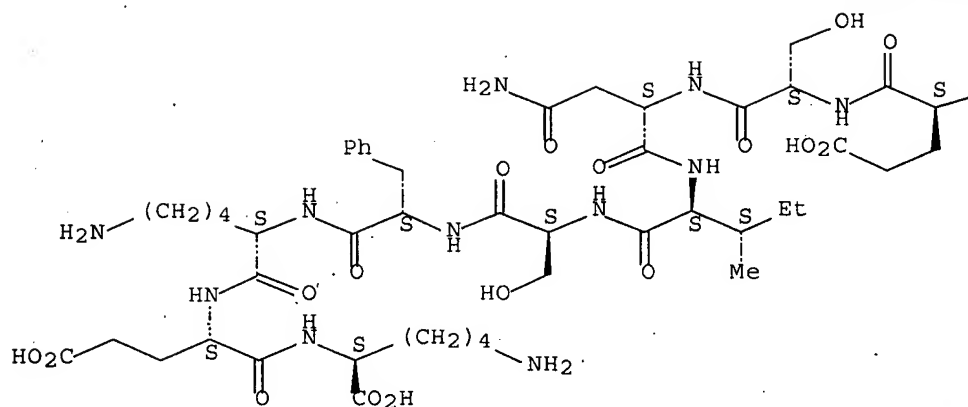


RN 250718-44-6 HCAPLUS

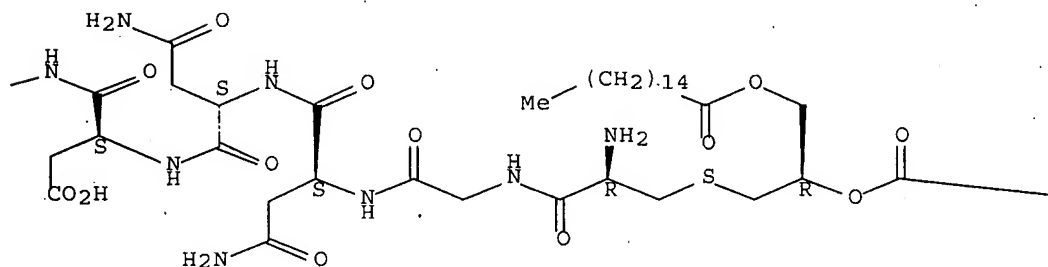
CN L-Lysine, S-[(2R)-2,3-bis[(1-oxohexadecyl)oxy]propyl]-L-cysteinyglycyl-L-asparaginy-L-asparaginy-L- α -aspartyl-L- α -glutamyl-L-seryl-L-asparaginy-L-isoleucyl-L-seryl-L-phenylalanyl-L-lysyl-L- α -glutamyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

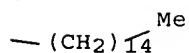
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PAGE 1-B



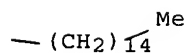
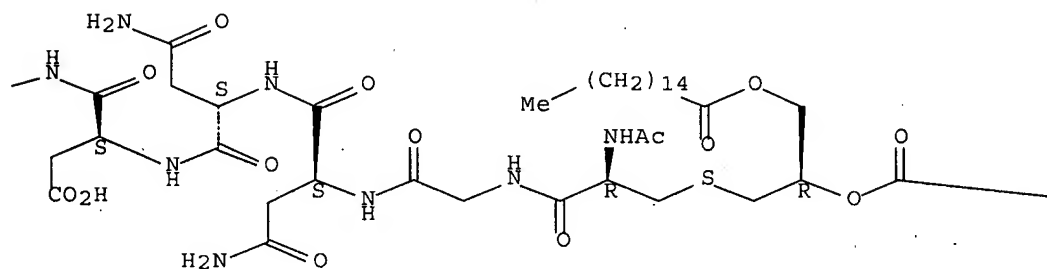
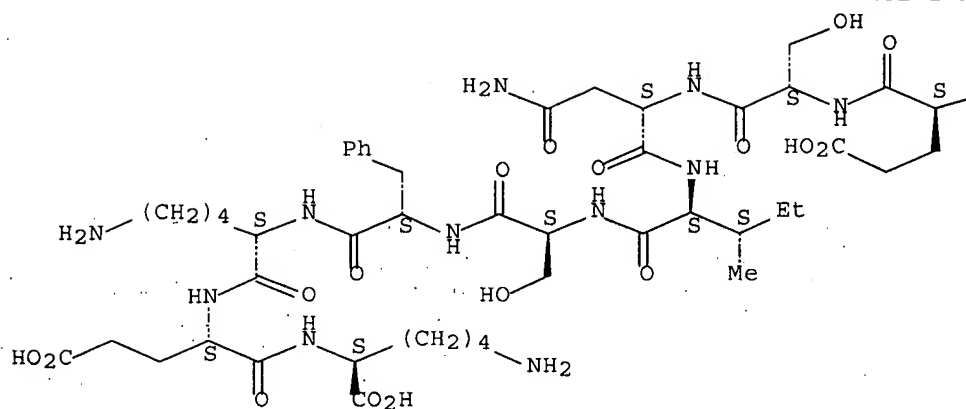
PAGE 1-C



RN 484648-56-8 HCAPLUS

CN L-Lysine, N-acetyl-S-[(2R)-2,3-bis[(1-oxohexadecyl)oxy]propyl]-L-cysteinylglycyl-L-asparaginyl-L-asparaginyl-L-α-aspartyl-L-α-glutamyl-L-seryl-L-asparaginyl-L-isoleucyl-L-seryl-L-phenylalanyl-L-lysyl-L-α-glutamyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:829325 HCAPLUS Full-text

DOCUMENT NUMBER: 139:5262

TITLE: The Mycoplasma-derived lipopeptide MALP-2 is a potent mucosal adjuvant

AUTHOR(S): Rharbaoui, Faiza; Drabner, Birgit; Borsutzky, Stefan; Winckler, Urte; Morr, Michael; Ensoli, Barbara; Muhlradt, Peter F.; Guzman, Carlos A.

CORPORATE SOURCE: Vaccine Research Group, Division of Microbiology,

GBF-German Research Center for Biotechnology,
Braunschweig, D-38124, Germany
SOURCE: European Journal of Immunology (2002), 32(10),
2857-2865
CODEN: EJIMAF; ISSN: 0014-2980
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English

- AB The adjuvant activity of MALP-2, a 2-kDa synthetic lipopeptide with macrophage-stimulatory activity, was evaluated in BALB/c mice using β -galactosidase (β -gal) as model antigen. When co-administered with β -gal by either the intranasal (i.n.) or i.p. route, MALP-2 (0.5 μ g) was capable of increasing β -gal-specific serum IgG titers by 675-3560-fold (i.n.) and 64-128-fold (i.p.), resp., as compared to immunization with β -gal alone. Using MALP-2, almost maximal IgG responses were already stimulated following the first immunization, and the IgG titers were similar to those observed using 10 μ g of cholera toxin B subunit (CTB) as adjuvant. The mucosal immune system was also effectively stimulated when MALP-2 was administered by the i.n. route (36% and 23% of β -gal-specific IgA in lung and vaginal lavages, resp.). The i.n. co-administration of MALP-2 stimulated a stronger cellular immune response than CTB, both in submandibular lymph nodes and spleen. The anal. of β -gal-specific IgG isotypes and the profiles of cytokines secreted by in vitro re-stimulated cells showed that co-administration of MALP-2 triggered a dominant Th2-response pattern. A recruitment of B220+ and MAC-1+ cells with an up-regulated expression of MHC class I, CD80 (B7.1) and CD54 (ICAM-1) was observed in nasal associated lymphoid tissues from MALP-2 treated mice. Taken together, the results demonstrated that the synthetic lipopeptide MALP-2 represents a very promising adjuvant for the mucosal delivery of vaccine antigens.
- CC 15-2 (Immunochemistry)
- ST Mycoplasma lipopeptide MALP2 adjuvant mucosal immunity
- IT CD antigens
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(CD54; up-regulation on monocytes/macrophages by synthetic Mycoplasma-derived lipopeptide MALP-2)
- IT Histocompatibility antigens
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(H-2, class I; up-regulation on monocytes/macrophages by synthetic Mycoplasma-derived lipopeptide MALP-2)
- IT Cell adhesion molecules
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(ICAM-1 (intercellular adhesion mol. 1); up-regulation on monocytes/macrophages by synthetic Mycoplasma-derived lipopeptide MALP-2)
- IT Antibodies and Immunoglobulins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(IgA; mucosal adjuvant activity of synthetic Mycoplasma-derived lipopeptide MALP-2)
- IT Antibodies and Immunoglobulins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(IgG1; mucosal adjuvant activity of synthetic Mycoplasma-derived lipopeptide MALP-2)
- IT Antibodies and Immunoglobulins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(IgG2a; mucosal adjuvant activity of synthetic Mycoplasma-derived lipopeptide MALP-2)
- IT Antibodies and Immunoglobulins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(IgG2b; mucosal adjuvant activity of synthetic Mycoplasma-derived

lipopeptide MALP-2)

IT Antibodies and Immunoglobulins
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (IgG3; mucosal adjuvant activity of synthetic Mycoplasma-derived
 lipopeptide MALP-2)

IT T cell (lymphocyte)
 (helper cell/inducer, TH2; synthetic Mycoplasma-derived lipopeptide
 MALP-2 enhances immune response by)

IT Interleukin 10
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (mucosal expression in response to synthetic Mycoplasma-derived
 lipopeptide MALP-2)

IT Immunization
 (mucosal; adjuvant activity of synthetic Mycoplasma-derived lipopeptide
 MALP-2)

IT Macrophage
 Monocyte
 (stimulation in mucosal lymphoid tissue by synthetic Mycoplasma-derived
 lipopeptide MALP-2)

IT Lung
 Vagina
 (synthetic Mycoplasma-derived lipopeptide MALP-2 enhances IgA response
 in)

IT Vaccines
 (synthetic; mucosal adjuvant activity of synthetic Mycoplasma-derived
 lipopeptide MALP-2 in relation to)

IT CD80 (antigen)
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (up-regulation on monocytes/macrophages by synthetic Mycoplasma-derived
 lipopeptide MALP-2)

IT 250718-44-6, MALP-2
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (mucosal adjuvant activity of synthetic Mycoplasma-derived lipopeptide
 MALP-2)

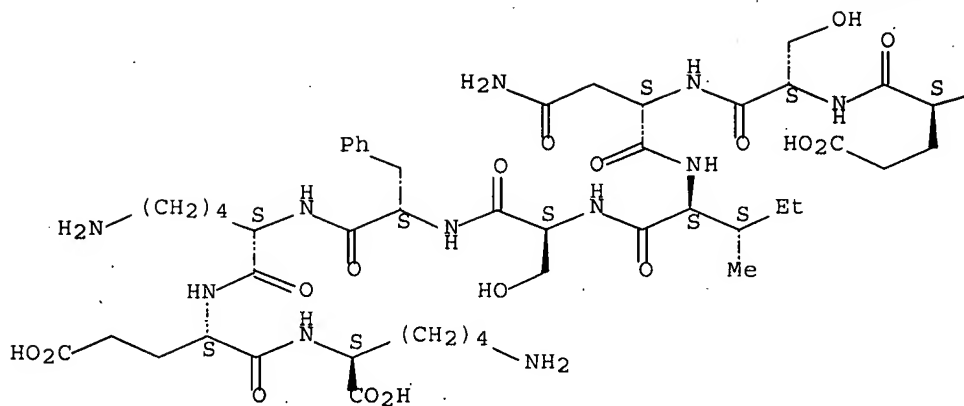
IT 250718-44-6, MALP-2
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (mucosal adjuvant activity of synthetic Mycoplasma-derived lipopeptide
 MALP-2)

RN 250718-44-6 HCAPLUS

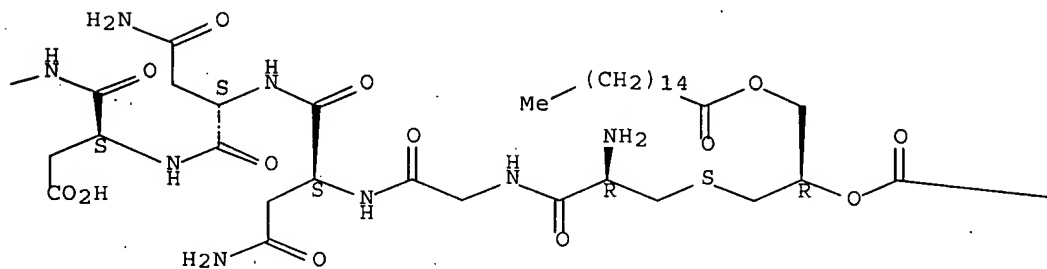
CN L-Lysine, S-[(2R)-2,3-bis[(1-oxohexadecyl)oxy]propyl]-L-cysteinyglycyl-L-
 asparaginy-L-asparaginy-L- α -aspartyl-L- α -glutamyl-L-seryl-L-
 asparaginy-L-isoleucyl-L-seryl-L-phenylalanyl-L-lysyl-L- α -glutamyl-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

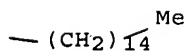
PAGE 1-A



PAGE 1-B



PAGE 1-C



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2001:557379 HCAPLUS Full-text
 DOCUMENT NUMBER: 135:256104
 TITLE: Discrimination of bacterial lipoproteins by Toll-like receptor 6
 AUTHOR(S): Takeuchi, Osamu; Kawai, Taro; Muhlradt, Peter F.; Morr, Michael; Radolf, Justin D.; Zychlinsky, Arturo; Takeda, Kiyoshi; Akira, Shizuo
 CORPORATE SOURCE: Department of Host Defense, Research Institute for Microbial Diseases, Osaka University, and Core

Research for Evolutional Science and Technology
(CREST) of Japan Science and Technology Corp., Suita,
565-0871, Japan

SOURCE: International Immunology (2001), 13(7), 933-940

CODEN: INIMEN; ISSN: 0953-8178

PUBLISHER: Oxford University Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Bacterial lipoproteins (BLP) trigger immune responses via Toll-like receptor 2 (TLR2) and their immunostimulatory properties are attributed to the presence of a lipoylated N-terminus. Most BLP are triacylated at the N-terminus cysteine residue, but mycoplasmal macrophage-activating lipopeptide-2 kDa (MALP-2) is only diacylated. Here the authors show that TLR6-deficient (TLR6-/-) cells are unresponsive to MALP-2 but retain their normal responses to lipopeptides of other bacterial origins. Reconstitution expts. in TLR2-/- TLR6-/- embryonic fibroblasts reveal that co-expression of TLR2 and TLR6 is absolutely required for MALP-2 responsiveness. Taken together, these results show that TLR6 recognizes MALP-2 cooperatively with TLR2, and appears to discriminate between the N-terminal lipoylated structures of MALP-2 and lipopeptides derived from other bacteria.

CC 15-10 (Immunochemistry)

ST bacteria lipoprotein Toll receptor 6

IT Lipopeptides

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(MALP-2 (macrophage-activating lipopeptide-2); Toll-like receptor-6 mediates recognition of)

IT Transcription factors

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(NF- κ B (nuclear factor κ B); activation in Toll-like receptor-6 signaling)

IT Receptors

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(TLR-2 (Toll-like receptor-2); cooperation with TLR6 in recognition of diacylated lipopeptides)

IT Receptors

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(TLR-6 (Toll-like receptor-6); in recognition of diacylated lipopeptides)

IT Borrelia burgdorferi

Salmonella minnesota

Staphylococcus aureus

Treponema pallidum

(Toll-like receptor-6 mediates recognition of diacylated lipopeptides)

IT Lipopeptides

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(diacylated; Toll-like receptor-6 mediates recognition of)

IT Signal transduction, biological

(for Toll-like receptor-6 in recognition of diacylated lipopeptides)

IT 289898-51-7, Jun N-terminal kinase 1

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(activation in Toll-like receptor-6 signaling)

IT 289898-51-7, Jun N-terminal kinase 1

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(activation in Toll-like receptor-6 signaling)

RN 289898-51-7 HCAPLUS

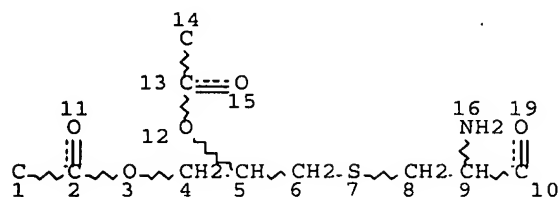
CN Kinase (phosphorylating), gene c-jun protein N-terminal, 1 (9CI) (CA
INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

SEARCH IN CAPLUS AND USPATFULL

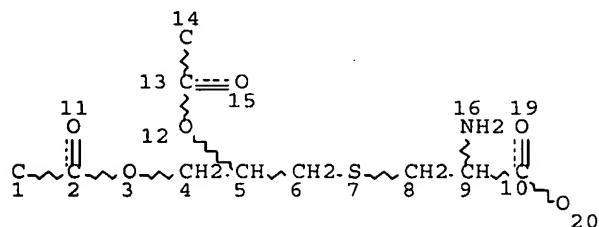
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L11 STR



NODE ATTRIBUTES:
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE
L13 184 SEA FILE=REGISTRY SSS FUL L11
L16 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE
L17 7 SEA FILE=REGISTRY SUB=L13 SSS FUL L16
L18 10 SEA FILE=HCAPLUS ABB=ON L17
L19 5 SEA FILE=HCAPLUS ABB=ON L18 AND (PRD<20020719 OR PD<20020719)
L20 2 SEA FILE=USPATFULL ABB=ON L18 AND (PRD<20020719 OR PD<20020719)
L21 6 DUP REMOV L19 L20 (1 DUPLICATE REMOVED)

=> d ibib abs hitstr l21 1-6

L21 ANSWER 1 OF 6 USPATFULL on STN
ACCESSION NUMBER: 2005:318074 USPATFULL Full-text

TITLE: Use of a lipopeptide or lipoprotein as an adjuvant in therapeutic or prophylactic vaccinations
INVENTOR(S): Muhlradt, Peter, Braunschweig, GERMANY, FEDERAL REPUBLIC OF
Guzman, Carlos Alberto, Wolfenbittel/Deutschland, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005276813	A1	20051215
APPLICATION INFO.:	US 2003-509917	A1	20030403 (10)
	WO 2003-EP3497		20030403
			20041004 PCT 371 date

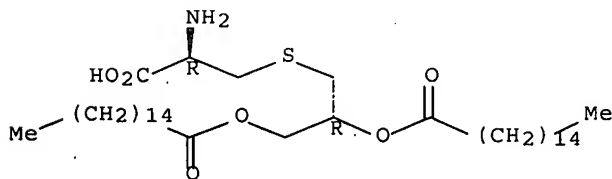
	NUMBER	DATE
PRIORITY INFORMATION:	EP 2002-7640	20020404
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	WHITHAM, CURTIS & CHRISTOFFERSON, P.C., 11491 SUNSET HILLS ROAD, SUITE 340, RESTON, VA, 20190, US	
NUMBER OF CLAIMS:	11	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	12 Drawing Page(s)	
LINE COUNT:	1105	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

AB Disclosed is the use of lipopeptides and lipoproteins as mucosal adjuvants for various vaccinations via mucous membranes, particularly intranasally. Said lipopeptides represent peptides or proteins substituted with 2,3-diacyloxy(2R)-propyl at the amino-terminal cystein of a peptide or protein, preferably S-(2,3-bispalmitoyloxy-(2R)- propyl)cysteinyll peptides derived from mycoplasmas. Said peptides are highly effective even in small doses, produce good immunization results, and increase the IgA level, among others.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 143405-67-8D, peptide conjugates
(vaccine comprising an antigen and lipopeptide or lipoprotein as mucosal adjuvant for stimulation of T-cells and Igs)
RN 143405-67-8 USPATFULL
CN Hexadecanoic acid, (1R)-1-[[[(2R)-2-amino-2-carboxyethyl]thio]methyl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:818310 HCAPLUS Full-text
DOCUMENT NUMBER: 139:306533
TITLE: Use of a lipopeptide or lipoprotein as an adjuvant in

therapeutic or prophylactic vaccinations
 INVENTOR(S): Guzman, Carlos Alberto; Muehlradt, Peter
 PATENT ASSIGNEE(S): GBF Gesellschaft fuer Biotechnologische Forschung
 m.b.H., Germany
 SOURCE: PCT Int. Appl., 47 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003084568	A2	20031016	WO 2003-EP3497	20030403 <--
WO 2003084568	A3	20031231		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2480196	A1	20031016	CA 2003-2480196	20030403 <--
AU 2003226777	A1	20031020	AU 2003-226777	20030403 <--
EP 1490106	A2	20041229	EP 2003-745782	20030403 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005276813	A1	20051215	US 2004-509917	20041004 <--
PRIORITY APPLN. INFO.:			EP 2002-7640	A 20020404 <--
			WO 2003-EP3497	W 20030403

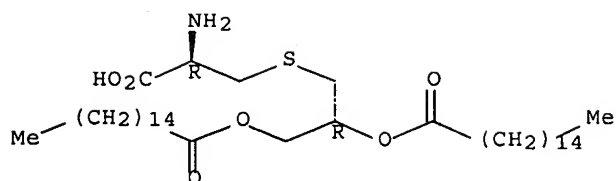
AB Disclosed is the use of lipopeptides and lipoproteins as mucosal adjuvants for various vaccinations via mucous membranes, particularly intranasally. Said lipopeptides represent peptides or proteins substituted with 2,3-diacyloxy(2R)-Pr at the amino-terminal cysteine of a peptide or protein, preferably S-(2,3-bispalmitoyloxy-(2R)-propyl)cysteiny peptides derived from mycoplasmas. Said peptides are highly effective even in small doses, produce good immunization results, and increase the IgA level, among others. The lipopeptides stimulate both Th1 and Th2 cells and IgG and IgA responses to an antigen.

IT 143405-67-8D, peptide conjugates
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (vaccine comprising an antigen and lipopeptide or lipoprotein as mucosal adjuvant for stimulation of T-cells and Igs)

RN 143405-67-8 HCAPLUS

CN Hexadecanoic acid, (1R)-1-[[[(2R)-2-amino-2-carboxyethyl]thio]methyl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 1
 ACCESSION NUMBER: 1997:15525 HCAPLUS Full-text
 DOCUMENT NUMBER: 126:73781
 TITLE: Multiple antigenic peptide system having adjuvant properties for use in vaccines
 INVENTOR(S): Tam, James P.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S., 24 pp., Cont. of U.S. Ser. No. 877,613, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5580563	A	19961203	US 1994-331489	19941228 <--
WO 9322343	A1	19931111	WO 1993-US4179	19930503 <--

W: CA, JP, US

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: US 1992-877613 B2 19920501 <--
 WO 1993-US4179 W 19930503 <--

AB A multiple antigenic peptide system is disclosed that comprises a dendritic core and peptides and a lipophilic anchoring moiety. This peptide system is capable of eliciting an immune response when injected into a mammal; vaccines prepared from the system and methods of use including therapeutic protocols are included. This combination eliminates the need for the inclusion of adjuvants found to be toxic to humans, and facilitates the exponential amplification of the antigenic potential of a vaccine prepared therefrom, as noncovalent amplification by a liposome or micellar form is possible. Further, multiple different antigenic peptides may be attached so that the system may be prepared for administration to concurrently treat diverse ailments, e.g. AIDS and influenza. Thus, 4 copies of a 24-residue peptide (designated B1) of the V3 loop of HIV-1 gp120 were linked to the free N α and N ϵ positions of N α ,N ϵ -dilysyl-Lys-Ser-Ser-[N ϵ -(tripalmitoyl-S-glycerylcysteinyl)]lysyl-alanine, and the product was incorporated into liposomes which were used to immunize mice. The immunized mice showed a high-titer humoral antibody response, a mitogenic response in spleen cells, a CD4+ T-helper cell response, a cytotoxic T-lymphocyte response, and formation of IL-2 by spleen cells after restimulation.

IT 155382-51-7DP, conjugates with peptides

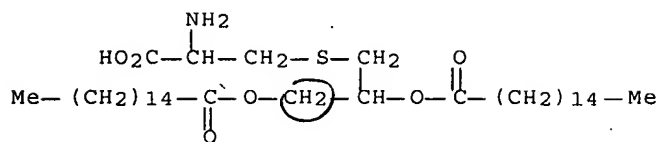
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(multiple antigenic peptide system having adjuvant properties for use in vaccines)

RN 155382-51-7 HCAPLUS

CN Hexadecanoic acid, 1-[[[(2-amino-2-carboxyethyl)thio]methyl]-1,2-ethanediyl

ester (9CI) (CA INDEX NAME)



L21 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:455886 HCAPLUS Full-text

DOCUMENT NUMBER: 121:55886

TITLE: Dendritic conjugates of lipids with multiple peptide antigens for use as adjuvants and in vaccines

INVENTOR(S): Tam, James P.

PATENT ASSIGNEE(S): Rockefeller University, USA

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9322343	A1	19931111	WO 1993-US4179	19930503 <--
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5580563	A	19961203	US 1994-331489	19941228 <--
PRIORITY APPLN. INFO.:			US 1992-877613	A2 19920501 <--
			WO 1993-US4179	W 19930503 <--

AB A multiple antigenic peptide system with a dendritic core, multiple peptides and a lipophilic anchoring moiety is described. This combination eliminates the need for adjuvants found to be toxic to humans, and facilitates the exponential amplification of the antigenic potential of a vaccine prepared from it, as noncovalent amplification by a liposome or micellar form is possible. Multiple different antigenic peptides may be attached so that the system may be used to concurrently treat multiple diseases, e.g., AIDS and influenza. Humoral and T-cell epitopes may be present in the same conjugate. The present multiple antigen peptide system is capable of eliciting an immune response when injected into a mammal. Lysyl tripalmitoyl-S-glycerol cysteine (Lys(P3C)) was conjugated with resin immobilized Fmoc-Ala and the tetrabranching peptide [Fmoc-Lys(Fmoc)]₂-Lys-Ser-Ser-Lys(P3C)-Ala immobilized on resin and the B1 epitope of the V3 loop of gp120 of HIV-1 synthesized by Fmoc chemical using Arg(Pmc) and Asn(Trt). The conjugates were incorporated into egg lecithin/cholesterol/stearylamine liposomes and injected into mice and guinea pigs (100 µg protein on days 0 and 14 and 50 µg on days 30 and 45) and the antisera characterized. Antibody titers from animals immunized with the dendritic peptide were approx. 2-fold higher than those from animals immunized with gp120 with 90% fusion inhibition titers of 4.3-10⁴103.

IT 155382-51-7

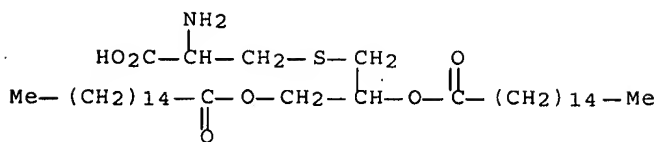
RL: RCT (Reactant); RACT (Reactant or reagent)

(reactions of, in preparation dendritic peptide conjugates for use as adjuvants and vaccines)

RN 155382-51-7 HCAPLUS

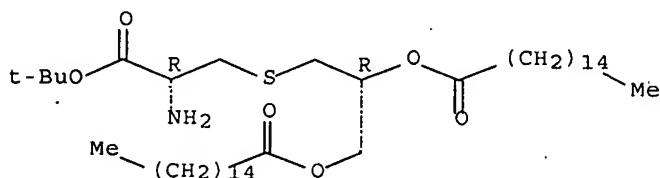
CN Hexadecanoic acid, 1-[[[(2-amino-2-carboxyethyl)thio]methyl]-1,2-ethanediyl

ester (9CI) (CA INDEX NAME)



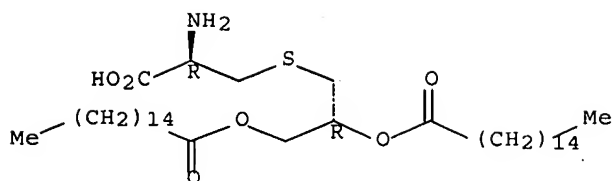
L21 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:534761 HCAPLUS Full-text
DOCUMENT NUMBER: 121:134761
TITLE: Synthesis and mitogenic activity of chiral lipopeptide
WS1279 and its derivatives
AUTHOR(S): Kurimura, Muneaki; Ochiai, Akiko; Achiwa, Kazuo
CORPORATE SOURCE: Sch. Pharm. Sci., Univ. Shizuoka, Shizuoka, 422, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1993),
41(11), 1965-70
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Optically active lipopeptide derivs. have been synthesized by the use of
chiral glycerol derivs. Lipopeptide WS1279 derivs. with (R)-glycerol moieties
showed a higher mitogenic activity than those with (S)-configuration. Various
N-protected lipopeptide and N-deprotected derivs. showed increased mitogenic
activity (no data).
IT 143405-85-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and acidic deblocking of)
RN 143405-85-0 HCAPLUS
CN Hexadecanoic acid, (1R)-1-[[[(2R)-2-amino-3-(1,1-dimethylethoxy)-3-
oxopropyl]thio]methyl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

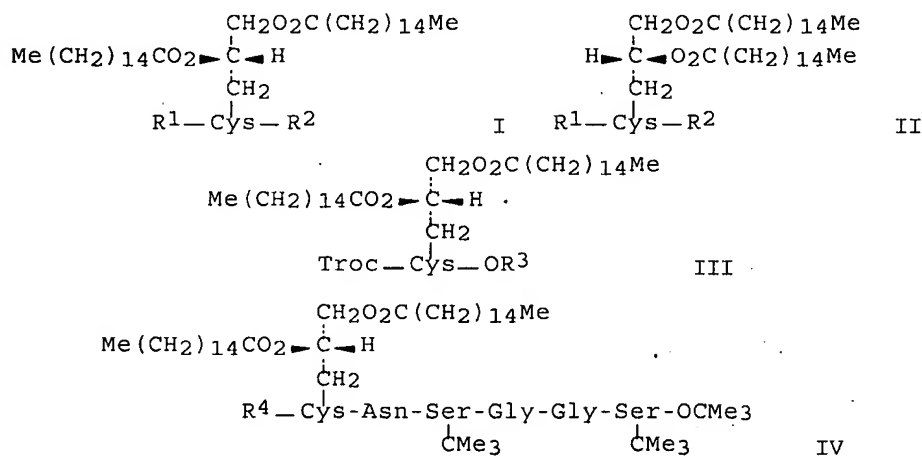


IT 143405-67-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 143405-67-8 HCAPLUS
CN Hexadecanoic acid, (1R)-1-[[[(2R)-2-amino-2-carboxyethyl]thio]methyl]-1,2-
ethanediyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1992:551315 HCAPLUS Full-text
 DOCUMENT NUMBER: 117:151315
 TITLE: Stereospecific synthesis and mitogenic activity of lipopeptide WS1279 and its derivatives
 AUTHOR(S): Kurimura, Muneaki; Ochiai, Akiko; Achiwa, Kazuo.
 CORPORATE SOURCE: Sch. Pharm. Sci., Univ. Shizuoka, Shizuoka, Japan
 SOURCE: Peptide Chemistry (1992), Volume Date 1991, 29th, 361-6
 CODEN: PECHDP; ISSN: 0388-3698
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 117:151315
 GI



AB The stereospecific synthesis of title lipopeptides I [R1 = palmitoyl, R2 = Asn-Ser-Gly-Gly-Ser-OH; R1 = H, Cl3CCH2O2C (Troc), R2 = Asn-Ser-Gly-Gly-Ser-OH, Asn-Ser-Gly-Gly-OH, Asn-Ser-Gly-OH, Asn-Ser-OH, Asn-OH, OH] and II (R1 = palmitoyl, Troc, H) is described. Thus, cysteine derivative III (R3 = CMe3) was de-tert-butylated by CF3CO2H to give III (R3 = H), which was coupled with H-Asn-Ser(CMe3)-Gly-Gly-Ser(CMe3)-OCMe3 by DEPC in the presence of Et3N in DMF to give 78% lipopeptide IV (R4 = Troc). The latter was Troc-deblocked by Zn/HOAc to give 80% IV (R4 = H), which was acylated with palmitoyl chloride in the presence diisopropylethylamine and DMAP in CH2Cl2 to give 72% IV (R4 = palmitoyl), which was deblocked by CF3CO2H to give 75% I (R1 = palmitoyl, R2 = Asn-Ser-Gly-Gly-Ser-OH). The relationship between structure and mitogenic activity was discussed for the lipopeptides I.

IT 143405-85-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

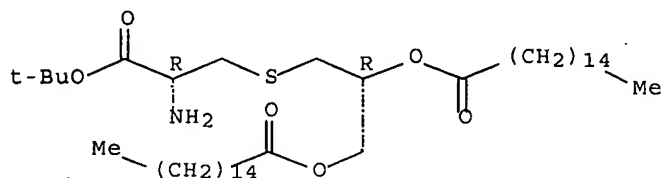
(Reactant or reagent)

(preparation and deblocking of)

RN 143405-85-0 HCAPLUS

CN Hexadecanoic acid, (1R)-1-[[[(2R)-2-amino-3-(1,1-dimethylethoxy)-3-oxopropyl]thio]methyl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 143405-67-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and mitogenic activity of)

RN 143405-67-8 HCAPLUS

CN Hexadecanoic acid, (1R)-1-[[[(2R)-2-amino-2-carboxyethyl]thio]methyl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

